WHAT IS CLAIMED IS:

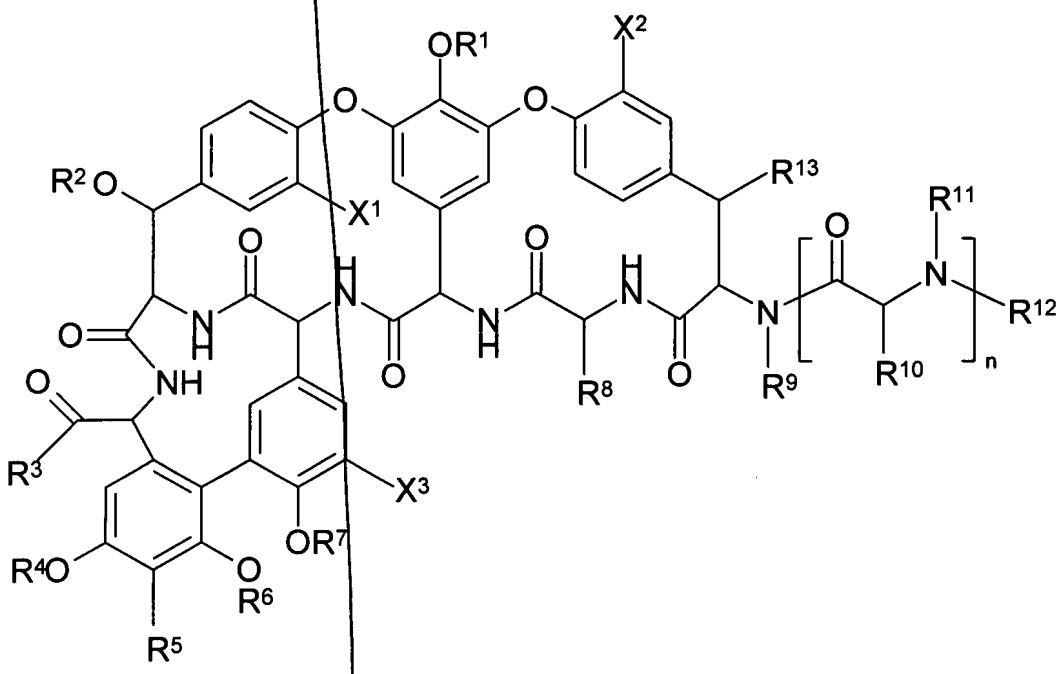
1. A glycopeptide substituted with one or more substituents each comprising one or more phosphono groups; or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof.

2. The glycopeptide of claim 1, wherein the glycopeptide is substituted at the C-terminus with a substituent comprising one or two phosphono groups.

3. The glycopeptide of claim 1, wherein the glycopeptide is substituted at the R-terminus with a substituent comprising one or two phosphono groups.

4. The glycopeptide of claim 3, wherein the substituent at the R-terminus is N-(phosphonomethyl)aminomethyl; N-(2-hydroxy-2-phosphonoethyl)aminomethyl; N-carboxymethyl-N-(phosphonomethyl)aminomethyl; N,N-bis(phosphonomethyl)aminomethyl; or N-(3-phosphonopropyl)aminomethyl.

5. The glycopeptide of claim 1 which is a compound of formula I:



wherein:

R^1 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-R^a-Y-R^b-(Z)_x$; or R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f, $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f, $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^e$, or $-O-R^e$; or R^3 is a nitrogen-linked, oxygen-linked, or sulfur-linked substituent that comprises one or more phosphono groups;

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and

a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f, $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$, or R^4 and R^5 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^e$, $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$, $-CH(R^c)-R^x$, $-CH(R^c)-NR^c-R^a-C(=O)-R^x$, and a substituent that comprises one or more phosphono groups;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f, $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-Ar^1-O-Ar^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$, $-R^a-Y-R^b-(Z)_x$, and $-C(O)-R^a-Y-R^b-(Z)_x$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R^x is an N-linked amino saccharide or an N-linked heterocycle;

X^1, X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$, $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$, $-OC(O)NR^c-$, -C(=O)-, and $-NR^cSO_2NR^c-$;

each *Z* is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2; and

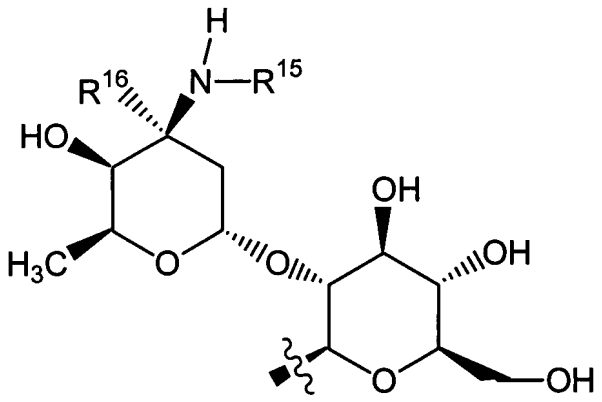
x is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided at least one of R^3 and R^5 is a substituent comprising one or more phosphono groups.

6. The glycopeptide of claim 5 wherein R^1 is a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f, $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)$.

7. The glycopeptide of claim 5 wherein R^1 is a saccharide group of the formula:



wherein R^{15} is $-R^a-Y-R^b-(Z)_x$, R^f, $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and R^{16} is hydrogen or methyl.

8. The glycopeptide of claim 6 wherein R^2, R^4, R^6, and R^7 are each hydrogen.

9. The glycopeptide of claim 8 wherein R^3 is $-OH$.

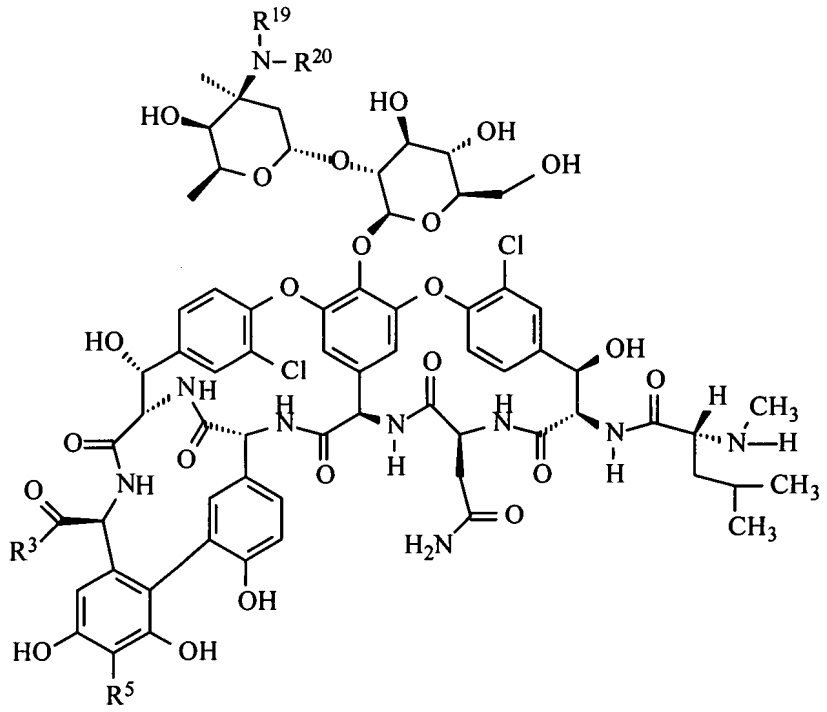
10. The glycopeptide of claim 8 wherein R^3 is a nitrogen-linked, oxygen-linked, or sulfur-linked substituent that comprises one or more phosphono groups.

11. The glycopeptide of claim 10 wherein R^3 is a group of the formula $-O-R^a-P(O)(OH)_2$, $-S-R^a-P(O)(OH)_2$, or $-NR^c-R^a-P(O)(OH)_2$.

12. The glycopeptide of claim 8 wherein R^5 is a group of the formula $-CH(R^{21})-N(R^c)-R^a-P(O)(OH)_2$; wherein R^{21} is hydrogen or R^d.

13. The glycopeptide of claim 12 wherein R^5 is $-CH-NH-R^a-P(O)(OH)_2$.

14. The glycopeptide of claim 5 which is a compound of formula II:



(II)

wherein:

R^{19} is hydrogen;

R^{20} is $-R^a-Y-R^b-(Z)_x$, R^f, $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and

R^a, Y, R^b, Z, x, R^f, R^3, and R^5 have the values defined in claim 5;

or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof;

provided at least one of R^3 and R^5 is a substituent comprising one or more phosphono groups.

15. The glycopeptide of claim 14 wherein R^3 is $-OH$.

16. The glycopeptide of claim 14 wherein R^3 is a nitrogen-linked, oxygen-linked, or

sulfur-linked substituent that comprises one or more phosphono groups.

17. The glycopeptide of claim 14 wherein R^3 is a group of the formula -$O-R^a-P(O)(OH)_2$, -$S-R^a-P(O)(OH)_2$, or -$NR^c-R^a-P(O)(OH)_2$.

18. The glycopeptide of claim 14 wherein R^5 is a group of the formula -$(CH(R^{21})-N(R^c)-R^a-P(O)(OH)_2$; wherein R^{21} is hydrogen or R^d.

19. The glycopeptide of claim 14 wherein R^{20} is $-CH_2CH_2-NH-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-NH-(CH_2)_8CH_3$; $-CH_2CH_2CH_2CH_2-NH-(CH_2)_7CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_9CH_3$; $-CH_2CH_2-NHSO_2-(CH_2)_{11}CH_3$; $-CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2-S-(CH_2)_{10}CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_8CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_9CH_3$; $-CH_2CH_2CH_2-S-(CH_2)_3-CH=CH-(CH_2)_4CH_3$ (*trans*); $-CH_2CH_2CH_2CH_2-S-(CH_2)_7CH_3$; $-CH_2CH_2-S(O)-(CH_2)_9CH_3$; $-CH_2CH_2-S-(CH_2)_6Ph$; $-CH_2CH_2-S-(CH_2)_8Ph$; $-CH_2CH_2CH_2-S-(CH_2)_8Ph$; $-CH_2CH_2-NH-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2-NH-CH_2$-4-[4-$(CH_3)_2CHCH_2$-]-Ph; $-CH_2CH_2-NH-CH_2$-4-(4-CF_3-Ph)-Ph; $-CH_2CH_2-S-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2-S(O)-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S(O)-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-S-CH_2$-4-[3,4-di-Cl-PhCH_2O-)-Ph; $-CH_2CH_2-NHSO_2-CH_2$-4-[4-(4-Ph)-Ph]-Ph; $-CH_2CH_2CH_2-NHSO_2-CH_2$-4-(4-Cl-Ph)-Ph; $-CH_2CH_2CH_2-NHSO_2-CH_2$-4-(Ph-C≡C-)-Ph; $-CH_2CH_2CH_2-NHSO_2$-4-(4-Cl-Ph)-Ph; or $-CH_2CH_2CH_2-NHSO_2$-4-(naphth-2-yl)-Ph.

20. The glycopeptide of claim 14 wherein R^3 is -OH; R^5 is N-(phosphonomethyl)-aminomethyl; R^{19} is hydrogen, and R^{20} is $-CH_2CH_2$-NH-$(CH_2)_9CH_3$; or a pharmaceutically acceptable salt thereof.

21. The glycopeptide of claim 14 wherein R^3 is -OH; R^5 is N-(phosphonomethyl)-aminomethyl; R^{19} is hydrogen, and R^{20} is $-CH_2CH_2-NH-(CH_2)_9CH_3$.

22. The glycopeptide of claim 20 which is the hydrochloride salt.

23. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a glycopeptide of any one of claims 1, 5, 14, and 20.

24. The pharmaceutical composition of Claim 23, which comprises a cyclodextrin.

25. The composition of claim 24 wherein the cyclodextrin is hydroxypropyl-β-cyclodextrin.

26. The composition of claim 25 which comprises from about 250 mg to about 1000 mg of the glycopeptide and from about 250 mg to about 10 g hydroxypropyl-β-cyclodextrin.

27. The composition of claim 26 wherein the weight ratio of hydroxypropyl-β-cyclodextrin to the glycopeptide is from about 1:1 to about 10:1 inclusive.

28. A method for preparing a glycopeptide as described claim 1 which is substituted at the C-terminus, comprising derivatizing a corresponding starting glycopeptide wherein the C-terminus is a carboxy group.

29. A method for preparing a glycopeptide as described claim 1 which is substituted at the R-terminus, comprising derivatizing a corresponding starting glycopeptide

wherein the R-terminus is unsubstituted.

30. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of any one of claims 1, 5, 14, or 20.

31. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of any one of claims 23.